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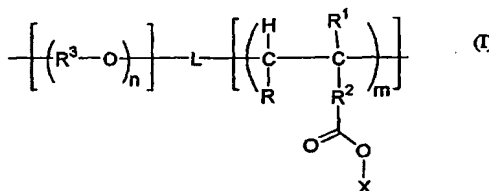
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ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: BLOCK COPOLYMERS



(57) Abstract: Novel block copolymers are described, together with the production therefrom of physiologically soluble polymer therapeutics. The block copolymers have the general formula (1) wherein R is selected from the group consisting of hydrogen, C₁-C₁₈ alkyl, C₂-C₁₈ alkenyl, C₇-C₁₈ aralkyl, C₇-C₁₈ alkaryl, C₆-C₁₈ aryl, carboxylic acid, C₂-C₁₈ alkoxy carbonyl, C₂-C₁₈ alkaminocarbonyl, or any one of C₁-C₁₈ alkyl, C₂-C₁₈ alkenyl, C₇-C₁₈ aralkyl, C₇-C₁₈ alkaryl, C₆-C₁₈ aryl, C₂-C₁₈ alkoxy carbonyl and C₂-C₁₈ alkaminocarbonyl substituted with a heteroatom within, or attached to, the carbon backbone; R¹ is selected from the group consisting of hydrogen and C₁-C₆ alkyl groups; R² is a linking group; X is an electron withdrawing group; R³ is selected from the group consisting of C₁-C₁₈ alkylene, C₂-C₁₈ alkenylene, C₇-C₁₈ aralkylene, C₇-C₁₈ alarylene and C₆-C₁₈ arylene; L is a divalent linker joining the blocks; and m and n are each an integer of greater than 1.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 02/05932

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C08F293/00 A61K31/00 C08F20/36 C08F4/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C08F C08L A61K C08G C07K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01 18080 A (BROCCHINI STEPHEN JAMES ;GODWIN ANTONY (GB); UNIV LONDON PHARMACY) 15 March 2001 (2001-03-15) cited in the application * page 18, line 9-10, 14-15 (particularly polyethylene glycol) ; page 26, line 8-9 ; claims 1-38 ; examples * page 17, line 7 -page 28, line 15 ---	1-7,11
X	US 6 235 813 B1 (SILBER STEFAN ET AL) 22 May 2001 (2001-05-22) * claim 1 ; column 3, line 25 ; column 2, line 40 - column 3, line 29 ; claims 9, 2-19 ; examples * column 5, line 66 -column 6, line 57 --- -/--	1-7,11

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the International filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
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- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

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INTERNATIONAL SEARCH REPORT

International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 6 248 839 B1 (KNEBELKAMP ARNO ET AL) 19 June 2001 (2001-06-19) * claim 1 ; column 2, line 25 - column 4, line 8 ; examples * ---	1-7,11
X	WO 01 17515 A (BROCCHINI STEVEN JAMES ;CLOCHARD MARIE CLAUDE DUBOIS (GB); UNIV LO) 15 March 2001 (2001-03-15) * claims 8, 9, 17-22, 1-16 ; page 9, line 19 - page 23, line 10 ; examples * ---	1-7,11
A	DE 31 31 848 A (GOLDSCHMIDT AG TH) 24 February 1983 (1983-02-24) abstract; claims 1-12 ---	1-7,11
A	US 6 162 882 A (MATYJASZEWSKI KRZYSZTOF ET AL) 19 December 2000 (2000-12-19) * column 1, line 25-28 ; column 2, line 65 - column 3, line 8 ; column 9, line 36-38* column 7, line 48 -column 18, line 20 ---	1-7,11
A	US 5 763 548 A (MATYJASZEWSKI KRZYSZTOF ET AL) 9 June 1998 (1998-06-09) * column 6, line 30 ; column 6, line 37 - column 31, line 45 * column 3, line 33-54 ---	1-7,11
A	DE 195 20 875 A (BASF CORP) 14 December 1995 (1995-12-14) * abstract ; claim 1 * page 2, line 58 -page 4, line 20 ---	1-7,11
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A	US 6 174 953 B1 (HUYBRECHTS JOSEF) 16 January 2001 (2001-01-16) * claims 1-5 * column 2, line 26 -column 4, line 31 ---	1-7,11
A	US 6 124 411 A (MATYJASZEWSKI KRZYSZTOF ET AL) 26 September 2000 (2000-09-26) * claims 1-16 * the whole document ---	1-7,11
A	WO 99 39731 A (SUPRATEK PHARMA INC ;ALAKOV VALERY Y (CA); BATRAKOVA ELENA V (US);) 12 August 1999 (1999-08-12) claims 1-21 -----	1-7,11

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 02/05932

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-7, 11

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-7,11

A block copolymer comprising the unit (I) :
-(-(R3-O)n-)-L-(-(CHR-CR1(R2COOX)-)m)-... (I), wherein m,
n, L, X, R, R1, R2, R3 are as described in the application
claim 1.

2. Claims: 8,9,10

A further specific block copolymer related to the general
block copolymer formula (I) according to any one of the
preceding claims, but wherein R2 is specifically defined as
described in application claims 8-10.

3. Claim : 11

A further specific block copolymer related to the general
block copolymer formula (I) according to any one of the
preceding claims, but wherein R3 is specifically defined as
described in claim 11.

4. Claim : 12

A further specific block copolymer related to the general
block copolymer formula (I) according to claim 11, but
wherein all R3 groups are the same and are preferably all
1,2-ethylene or 1,2-propylene.

5. Claims: 13,14

A further specific block copolymer related to the general
block copolymer formula (I) according to any one of the
preceding claims, but wherein L is specifically defined as
described in claims 13,14.

6. Claim : 15

A further specific block copolymer related to the general
block copolymer formula (I) according to claim 14, but
wherein L comprises a CORa group, wherein Ra is
specifically defined as described in claim 15.

7. Claims: 16-22

A further block copolymer comprising the structure (II)
related to the general block copolymer formula (I), but

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

which comprises as the structure (II) :

$$-(R7-O)_n-L1-(-(CHR12-CR13(R14COZ))-)_m-(-(CHR4-CR5(R6COQ))-)_p- \dots (II)$$
 , wherein the various components are as described in application claim 16.

8. Claims: 23-32

A process for the production of a block copolymer, comprising the polymerisation of ethylenically unsaturated monomers including a compound of structure (III) as described in claim 23.

9. Claim : 33

A process for the production of a block copolymer comprising the steps as described in claim 33, of polymerising ethylenically unsaturated monomers comprising a compound (VIII) as described in claim 33.

10. Claim : 34

A specific process related to claim 23 or claim 33, but wherein the ethylenically unsaturated monomer compound is specifically structure (XIII) as described in claim 34, and the initiator is specifically structure (XIV) as described in claim 34.

11. Claim : 35

A specific process related to claim 34, but wherein the copolymer is further reacted with a compound :

$$H_2N-Gly-Len-Phe-Gly-Doxorubicin,$$
 then followed by a further process step in which the product of the above reaction is further reacted with 2-hydroxy-propylamine.

12. Claim : 36

A specific block copolymer related to the general block copolymer formula (I), but wherein the block copolymer has the specific structure (XII) as described in claim 36.

13. Claim : 37

A further block copolymer which is obtainable by reacting the block copolymer of claim 36 and a reagent selected to provide a pendant group comprising an aminoacyl linker or a cis-aconityl linker and a bioactive agent.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/GB 02/05932

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